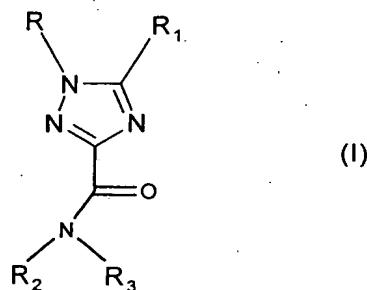


Claims

1. A method of treating disorders involving CB<sub>1</sub> cannabinoid neurotransmission such as psychosis, anxiety, depression, attention deficits, memory disorders, cognitive disorders, appetite disorders, obesity, addiction, appetite, drug dependence, neurodegenerative disorders, dementia, dystonia, muscle spasticity, tremor, epilepsy, multiple sclerosis, traumatic brain injury, stroke, Parkinson's disease, Alzheimer's disease, epilepsy, Huntington's disease, Tourette's syndrome, cerebral ischaemia, cerebral apoplexy, craniocerebral trauma, stroke, spinal cord injury, neuroinflammatory disorders, plaque sclerosis, viral encephalitis, demyelinisation related disorders, as well as for the treatment of pain disorders, including neuropathic pain disorders, septic shock, glaucoma, diabetes, cancer, emesis, nausea, gastrointestinal disorders, gastric ulcers, diarrhoea and cardiovascular disorders, characterized in that for the preparation of a pharmaceutical composition for the treatment of said disorders a compound of formula (I) is used



20

wherein

- R and R<sub>1</sub> independently represent a phenyl, naphtyl, thienyl, pyridyl, pyrimidyl, pyrazinyl, pyridazinyl or triazinyl group, which groups may be substituted with 1-4 substituents X, which can be the same or different, from the group branched or unbranched (C<sub>1-3</sub>)-alkyl or alkoxy, hydroxy, halogen, trifluoromethyl, trifluoromethylthio, trifluoromethoxy, nitro, amino, mono- or dialkyl (C<sub>1-2</sub>)-amino, mono- or dialkyl (C<sub>1-2</sub>)-amido, (C<sub>1-3</sub>)-alkoxycarbonyl, trifluoromethylsulfonyl, sulfamoyl, (C<sub>1-3</sub>)-alkylsulfonyl, carboxyl, cyano, carbamoyl, (C<sub>1-3</sub>)-dialkylaminosulfonyl, (C<sub>1-3</sub>)-monoalkylamino-sulfonyl and acetyl,
- R<sub>2</sub> represents a hydrogen atom or a branched or unbranched C<sub>1-8</sub> alkyl or C<sub>1-8</sub> cycloalkyl-alkyl group or a phenyl, benzyl or phenethyl group which aromatic rings may be substituted with 1-4 substituents X,

wherein X has the meaning as indicated above, or R<sub>2</sub> represents a pyridyl or thienyl group,

- R<sub>3</sub> represents branched or unbranched C<sub>1-8</sub> alkyl, C<sub>1-8</sub> alkoxy, C<sub>3-8</sub> cycloalkyl, C<sub>5-10</sub> bicycloalkyl, C<sub>6-10</sub> tricycloalkyl, C<sub>3-8</sub> alkenyl, C<sub>5-8</sub> cycloalkenyl, which groups may optionally contain one or more heteroatoms from the group (O, N, S), which groups may be substituted with a hydroxy group, an ethynyl group or 1-3 fluoro atoms, or R<sub>3</sub> represents a phenyl, benzyl or phenethyl group which aromatic rings may be substituted with 1-4 substituents X, wherein X has the meaning as indicated above, or R<sub>3</sub> represents a pyridyl, pyrimidyl, pyrazinyl, pyridazinyl, triazinyl or thienyl group which heteroaromatic rings may be substituted with 1-2 substituents X, wherein X has the meaning as indicated above, or R<sub>3</sub> represents a group NR<sub>4</sub>R<sub>5</sub> wherein

5 R<sub>4</sub> and R<sub>5</sub>, together with the nitrogen atom to which they are bonded, form a saturated or unsaturated, monocyclic or bicyclic, heterocyclic moiety having 4 to 10 ring atoms, which heterocyclic group contains one or two heteroatoms from the group N, O or S, which heteroatoms can be the same or different, which heterocyclic moiety may be substituted with a branched or unbranched C<sub>1-3</sub> alkyl, hydroxy or trifluoromethyl group or a fluoro atom, or

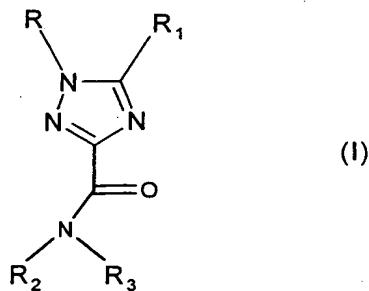
10 R<sub>2</sub> and R<sub>3</sub>, together with the nitrogen atom to which they are bonded, form a saturated or unsaturated, monocyclic or bicyclic, heterocyclic moiety having 4 to 10 ring atoms, which heterocyclic group contains one or two heteroatoms from the group N, O or S, which heteroatoms can be the same or different, which heterocyclic moiety may be substituted with a branched or unbranched C<sub>1-3</sub> alkyl, hydroxy or trifluoromethyl group or a fluoro atom,

15 R<sub>4</sub> and R<sub>5</sub>, together with the nitrogen atom to which they are bonded, form a saturated or unsaturated, monocyclic or bicyclic, heterocyclic moiety having 4 to 10 ring atoms, which heterocyclic group contains one or two heteroatoms from the group N, O or S, which heteroatoms can be the same or different, which heterocyclic moiety may be substituted with a branched or unbranched C<sub>1-3</sub> alkyl, hydroxy or trifluoromethyl group or a fluoro atom, or

20 R<sub>2</sub> and R<sub>3</sub>, together with the nitrogen atom to which they are bonded, form a saturated or unsaturated, monocyclic or bicyclic, heterocyclic moiety having 4 to 10 ring atoms, which heterocyclic group contains one or two heteroatoms from the group N, O or S, which heteroatoms can be the same or different, which heterocyclic moiety may be substituted with a branched or unbranched C<sub>1-3</sub> alkyl, hydroxy or trifluoromethyl group or a fluoro atom, or

25 R<sub>2</sub> and R<sub>3</sub>, together with the nitrogen atom to which they are bonded, form a saturated or unsaturated, monocyclic or bicyclic, heterocyclic moiety having 4 to 10 ring atoms, which heterocyclic group contains one or two heteroatoms from the group N, O or S, which heteroatoms can be the same or different, which heterocyclic moiety may be substituted with a branched or unbranched C<sub>1-3</sub> alkyl, hydroxy or trifluoromethyl group or a fluoro atom, and prodrugs, stereoisomers and salts thereof.

30 2. Compounds of the general formula (I)



wherein

- R and R<sub>1</sub> have the meanings as given in claim 1,
- R<sub>2</sub> represents a hydrogen atom or a branched or unbranched C<sub>1-8</sub> alkyl group

5      - R<sub>3</sub> represents branched or unbranched C<sub>2-8</sub> alkoxy, C<sub>3-8</sub> cycloalkyl, C<sub>5-10</sub> bicycloalkyl, C<sub>6-10</sub> tricycloalkyl, C<sub>4-8</sub> alkenyl, C<sub>5-8</sub> cycloalkenyl, which groups may optionally contain one or more heteroatoms from the group (O, N, S), which groups may optionally be substituted with a hydroxy group or 1-3 fluoro atoms, or R<sub>3</sub> represents a C<sub>3-8</sub> trifluoroalkyl or C<sub>2-8</sub> fluoroalkyl group, or R<sub>3</sub> represents a benzyl or phenethyl group which aromatic rings may be substituted with 1-4 substituents X, wherein X has the meaning as given in claim 1, or R<sub>3</sub> represents a 3-pyridyl, 4-pyridyl, pyrimidyl, pyrazinyl, pyridazinyl, triazinyl or thienyl group which heteroaromatic rings may be substituted with 1-2 substituents X, wherein X has the meaning as given in claim 1, or R<sub>3</sub> represents a group NR<sub>4</sub>R<sub>5</sub> wherein

10     R<sub>4</sub> and R<sub>5</sub> together with the nitrogen atom to which they are bonded form a saturated or unsaturated, monocyclic or bicyclic, heterocyclic moiety having 4 to 10 ring atoms, which heterocyclic group contains one or two heteroatoms from the group N, O or S, which heteroatoms can be the same or different, which heterocyclic moiety may be substituted with a branched or unbranched C<sub>1-3</sub> alkyl, hydroxy or trifluoromethyl group or a fluoro atom, or

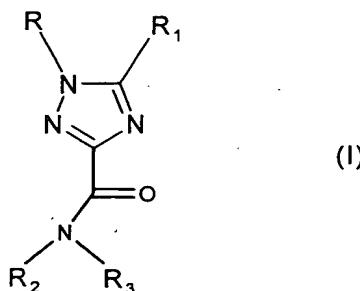
15     - R<sub>2</sub> and R<sub>3</sub>, together with the nitrogen atom to which they are bonded, form a saturated or unsaturated, monocyclic or bicyclic, heterocyclic moiety having 4 to 10 ring atoms, which heterocyclic group contains one or two heteroatoms from the group N, O or S, which heteroatoms can be the same or different, which heterocyclic moiety may be substituted with a branched or unbranched C<sub>1-3</sub> alkyl, hydroxy, piperidinyl or trifluoromethyl group or a fluoro atom, with the proviso that this heterocyclic moiety is not an unsubstituted piperidinyl or unsubstituted morpholinyl group or 2,2,6,6-tetraalkylpiperidinyl group, and prodrugs, stereoisomers and salts thereof.

20     - R<sub>2</sub> and R<sub>3</sub>, together with the nitrogen atom to which they are bonded, form a saturated or unsaturated, monocyclic or bicyclic, heterocyclic moiety having 4 to 10 ring atoms, which heterocyclic group contains one or two heteroatoms from the group N, O or S, which heteroatoms can be the same or different, which heterocyclic moiety may be substituted with a branched or unbranched C<sub>1-3</sub> alkyl, hydroxy, piperidinyl or trifluoromethyl group or a fluoro atom, with the proviso that this heterocyclic moiety is not an unsubstituted piperidinyl or unsubstituted morpholinyl group or 2,2,6,6-tetraalkylpiperidinyl group, and prodrugs, stereoisomers and salts thereof.

25     - R<sub>2</sub> and R<sub>3</sub>, together with the nitrogen atom to which they are bonded, form a saturated or unsaturated, monocyclic or bicyclic, heterocyclic moiety having 4 to 10 ring atoms, which heterocyclic group contains one or two heteroatoms from the group N, O or S, which heteroatoms can be the same or different, which heterocyclic moiety may be substituted with a branched or unbranched C<sub>1-3</sub> alkyl, hydroxy, piperidinyl or trifluoromethyl group or a fluoro atom, with the proviso that this heterocyclic moiety is not an unsubstituted piperidinyl or unsubstituted morpholinyl group or 2,2,6,6-tetraalkylpiperidinyl group, and prodrugs, stereoisomers and salts thereof.

30     - R<sub>2</sub> and R<sub>3</sub>, together with the nitrogen atom to which they are bonded, form a saturated or unsaturated, monocyclic or bicyclic, heterocyclic moiety having 4 to 10 ring atoms, which heterocyclic group contains one or two heteroatoms from the group N, O or S, which heteroatoms can be the same or different, which heterocyclic moiety may be substituted with a branched or unbranched C<sub>1-3</sub> alkyl, hydroxy, piperidinyl or trifluoromethyl group or a fluoro atom, with the proviso that this heterocyclic moiety is not an unsubstituted piperidinyl or unsubstituted morpholinyl group or 2,2,6,6-tetraalkylpiperidinyl group, and prodrugs, stereoisomers and salts thereof.

## 3. Compounds of the general formula (I)

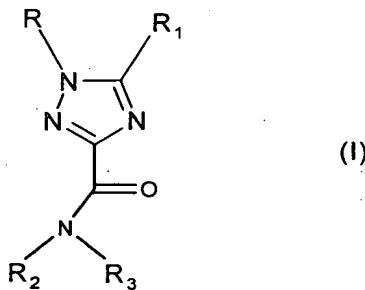


5 wherein

- R and R<sub>1</sub> independently represent a phenyl, naphtyl, thienyl, pyridyl, pyrimidyl, pyrazinyl, pyridazinyl or triazinyl group, which groups are substituted with 1-4 substituents X, wherein X has the meaning as given in claim 1,
- R<sub>2</sub> and R<sub>3</sub> have the meanings as given in claim 2, and prodrugs, stereoisomers and salts thereof.

## 4. Compounds of the general formula (I)

15



wherein

- 20 R and R<sub>1</sub> independently represent a phenyl group which phenyl groups are substituted with 1-4 substituents which can be the same or different; from the group methyl, methoxy, halogen, trifluoromethyl or cyano or R and R<sub>1</sub> independently represent a phenyl, thienyl or pyridyl group, which phenyl group is substituted with 1-4 substituents, which can be the same or different, from the group methyl, methoxy, halogen, trifluoromethyl or cyano,
- 25 - R<sub>2</sub> has the meaning as given in claim 2,
- R<sub>3</sub> represents a group NR<sub>4</sub>R<sub>5</sub> wherein

R<sub>4</sub> and R<sub>5</sub> together with the nitrogen atom to which they are bonded form a saturated or unsaturated, monocyclic or bicyclic, heterocyclic moiety having 4 to 10 ring atoms, which heterocyclic group contains one or two heteroatoms from the group N, O or S, which heteroatoms can be the same or different, which heterocyclic moiety may be substituted with a branched or unbranched C<sub>1-3</sub> alkyl, hydroxy or trifluoromethyl group or a fluoro atom,  
and prodrugs, stereoisomers and salts thereof.

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10 5. Pharmaceutical compositions containing a pharmacologically active amount of at least one compound of one of the claims 1-4 as an active ingredient.

15 6. Use of a compound of one of the claims 1-4 for the preparation of a pharmaceutical composition for the treatment of disorders involving cannabinoid neurotransmission.

20 7. Use as in claim 6 characterised in that said disorders are: psychosis, anxiety, depression, attention deficits, memory disorders, cognitive disorders, appetite disorders, obesity, addiction, appetite, drug dependence, neurodegenerative disorders, dementia, dystonia, muscle spasticity, tremor, epilepsy, multiple sclerosis, traumatic brain injury, stroke, Parkinson's disease, Alzheimer's disease, epilepsy, Huntington's disease, Tourette's syndrome, cerebral ischaemia, cerebral apoplexy, craniocerebral trauma, stroke, spinal cord injury, neuroinflammatory disorders, plaque sclerosis, viral encephalitis, demyelinisation related disorders, as well as for the treatment of pain disorders, including neuropathic pain disorders, septic shock, glaucoma, diabetes, cancer, emesis, nausea, gastrointestinal disorders, gastric ulcers, diarrhoea and cardiovascular disorders.

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